

EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	507	514/243.ccls.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/10/17 15:42
L2	107	imidazotriazinone	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/10/17 15:42
L3	46	I1 and I2	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/10/17 15:42
S1	3	"2001047928"	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/10/17 15:41
S2	4	"20010047928"	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/06/11 19:18
S3	2	"200147928"	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/06/11 19:18
S4	24	((HIDEKAZU) near2 (INOUE)).INV.	US-PGPUB; USPAT; USOCR	OR	ON	2007/10/17 08:28
S5	4	((HIDENOBU) near2 (MURAFUJI)). INV.	US-PGPUB; USPAT; USOCR	OR	ON	2007/10/17 08:28

EAST Search History

S6	105	((YASUHIRO) near2 (HAYASHI)). INV.	US-PGPUB; USPAT; USOCR	OR	ON	2007/10/17 08:29
S7	11	("20020198377" "20040097498" "20040138279" "20050009822" "20050043303" "20050195210" "6362178" "6476029" "6613778" "6627651" "6737436").PN.	US-PGPUB; USPAT; USOCR	OR	ON	2007/10/17 08:39
S8	132	S4 S5 S6 S7	US-PGPUB; USPAT; USOCR	OR	ON	2007/10/17 08:46
S9	6	S8 and imidazotriazinone	US-PGPUB; USPAT; USOCR	OR	ON	2007/10/17 08:46

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NEWS	4	JUL 02	CHEMCATS accession numbers revised
NEWS	5	JUL 02	CA/CAPplus enhanced with utility model patents from China
NEWS	6	JUL 16	CAPplus enhanced with French and German abstracts
NEWS	7	JUL 18	CA/CAPplus patent coverage enhanced
NEWS	8	JUL 26	USPATFULL/USPAT2 enhanced with IPC reclassification
NEWS	9	JUL 30	USGENE now available on STN
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NEWS	11	AUG 06	BEILSTEIN updated with new compounds
NEWS	12	AUG 06	FSTA enhanced with new thesaurus edition
NEWS	13	AUG 13	CA/CAPplus enhanced with additional kind codes for granted patents
NEWS	14	AUG 20	CA/CAPplus enhanced with CAS indexing in pre-1907 records
NEWS	15	AUG 27	Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
NEWS	16	AUG 27	USPATOLD now available on STN
NEWS	17	AUG 28	CAS REGISTRY enhanced with additional experimental spectral property data
NEWS	18	SEP 07	STN AnaVist, Version 2.0, now available with Derwent World Patents Index
NEWS	19	SEP 13	FORIS renamed to SOFIS
NEWS	20	SEP 13	INPADOCDB enhanced with monthly SDI frequency
NEWS	21	SEP 17	CA/CAPplus enhanced with printed CA page images from 1967-1998
NEWS	22	SEP 17	CAPplus coverage extended to include traditional medicine patents
NEWS	23	SEP 24	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	24	OCT 02	CA/CAPplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS EXPRESS	19	SEPTEMBER 2007:	CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.
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NEWS IPC8	For general information regarding STN implementation of IPC 8		

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* * * * * STN Columbus * * * * *

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=> file registry

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 09:06:39 ON 17 OCT 2007

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STRUCTURE FILE UPDATES: 16 OCT 2007 HIGHEST RN 950817-67-1

DICTIONARY FILE UPDATES: 16 OCT 2007 HIGHEST RN 950817-67-1

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TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

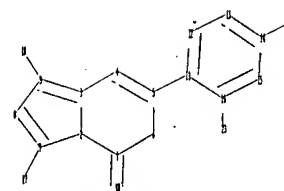
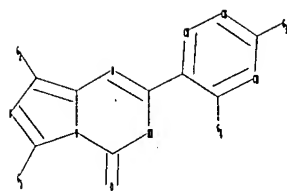
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=>

Uploading C:\Program Files\Stnexp\Queries\10 series\10560503\105660503d.str



chain nodes :

10 17 18 22 23

ring nodes :

1 2 3 4 5 6 7 8 9 11 12 13 14 15 16

chain bonds :

1-10 5-11 7-17 9-18 14-22 16-23

ring bonds :

1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9 11-12 11-16 12-13 13-14 14-15
15-16

exact/norm bonds :

1-2 1-6 1-10 2-3 2-7 3-4 3-9 4-5 5-6 7-8 7-17 8-9 9-18 14-22 16-23

exact bonds :

5-11

normalized bonds :

11-12 11-16 12-13 13-14 14-15 15-16

G1:Cb,Ak

G2:Ak,H

G3:H,CN,NO2,X,Hy,Ak,C,O,S,N

G4:H,O

Match level :

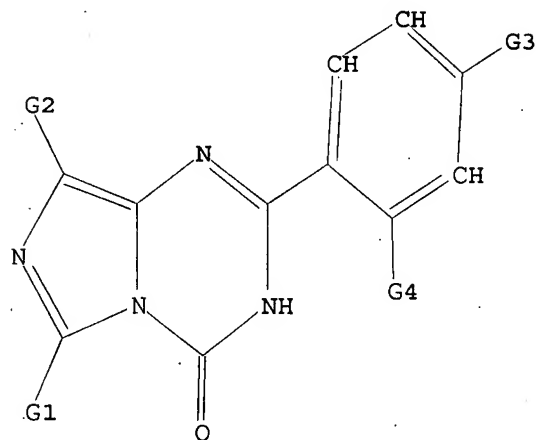
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11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 22:CLASS
23:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 Cb,Ak

G2 Ak,H

G3 H,CN,NO2,X,Hy,Ak,C,O,S,N

G4 H,O

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 09:07:00 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 79 TO ITERATE

100.0% PROCESSED 79 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 1047 TO 2113

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 09:07:05 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1695 TO ITERATE

100.0% PROCESSED 1695 ITERATIONS

4 ANSWERS

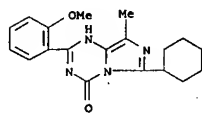
SEARCH TIME: 00.00.01

L3

4 SEA SSS FUL L1

=> d scan

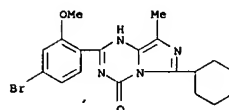
L3 4 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
 IN Imidazo[1,5-a]-1,3,5-triazin-4(1H)-one,
 6-cyclohexyl-2-(2-methoxyphenyl)-8-
 methyl- (9CI)
 MF C19 H22 N4 O2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 4 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
 IN Imidazo[1,5-a]-1,3,5-triazin-4(1H)-one, 2-(4-bromo-2-methoxyphenyl)-6-
 cyclohexyl-8-methyl- (9CI)
 MF C19 H21 Br N4 O2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> file caplus
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
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FULL ESTIMATED COST

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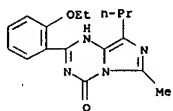
<http://www.cas.org/infopolicy.html>

=> s l3
L4

5 L3

=> d l4 1-5 ibib abs hitstr

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2005:708482 CAPLUS
 DOCUMENT NUMBER: 143:338941
 TITLE: Comparison of different heterocyclic scaffolds as substrate analog PDE5 inhibitors
 AUTHOR(S): Haning, Helmut; Niewoehner, Ulrich; Schenke, Thomas; Lampe, Thomas; Hillisch, Alexander; Bischoff, Erwin
 CORPORATE SOURCE: Business Group Pharma, BAYER HealthCare AG, Wuppertal, D-42096, Germany
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(17), 3900-3907
 CODEN: BMCL88; ISSN: 0960-894X
 PUBLISHER: Elsevier B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 143:338941
 AB Several different heterocyclic systems were compared as PDE5 inhibitor scaffolds. In addition to the known 3H-imidazo[5,1-f][1,2,4]triazin-4-ones and pyrazolopyrimidinones, isomeric imidazo[1,5-a][1,3,5]triazin-4(3H)-ones were also shown to be potent and selective PDE inhibitor scaffolds with in vivo activity. SAR trends were elucidated for sulfonamide derivs. with generality across different scaffolds.
 IT 346605-62-7P
 RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (comparison of different heterocyclic scaffolds as substrate analog PDE5 inhibitors)
 RN 346605-62-7 CAPLUS
 CN Imidazo[1,5-a]-1,3,5-triazin-4(1H)-one, 2-(2-ethoxyphenyl)-6-methyl-8-propyl- (9CI) (CA INDEX NAME)

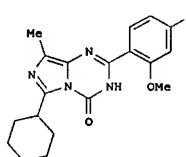
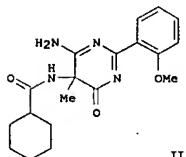
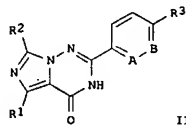
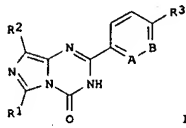


REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004:1127383 CAPLUS
 DOCUMENT NUMBER: 142:74617
 TITLE: Imidazotriazinone derivatives as PDE 7 (phosphodiesterase 7) inhibitors, their preparation, and pharmaceutical compositions containing them
 INVENTOR(S): Inoue, Hidekazu; Murafuji, Hidenobu; Hayashi, Yasuhiro
 PATENT ASSIGNEE(S): Daiichi Sankyo Pharma Co., Ltd., Japan; Daiichi Sankyo Biomedical Research Co., Ltd.
 SOURCE: PCT Int. Appl., 34 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004/11053	A1	20041223	WO 2004-JP8642	20040611
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HK, IL, IN, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2006219374	A	20060824	JP 2003-170095	20030613
EP 1636234	A1	20060322	EP 2004-736703	20040611
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 2006128707	A1	20060615	US 2005-560503	20051213
PRIORITY APPL. INFO.:			JP 2003-170095	A 20030613
			WO 2004-JP8642	W 20040611
OTHER SOURCE(S):		MARPAT 142:74617		
GI				

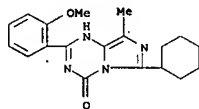
L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



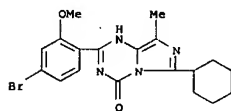
AB The invention provides compds. which inhibit PDE 7 selectively, and therefore enhance cellular cAMP levels. Consequently, the compds. are useful for treating various kinds of diseases, such as allergic diseases, inflammatory diseases, or immunol. diseases. The compds. are imidazotriazinones I and II [wherein: A is N or CR4; B is N or CH; R1 is (un)substituted cycloalkyl or tert-Bu; R2 is H or Cl-C6 alkyl; R3 is H, NO2, cyano, halo, heteroaryl, (un)substituted Cl-C6 alkyl, (un)substituted C2-C6 alkenyl, (un)saturated (un)substituted heterocycloalkyl, NR5R6, COR7, SO2R7, OR8, NR8COR7, NR8SO2R7; R4 is H or Cl-C3 alkoxy group which is (un)substituted by one or more F atom(s); R5 and R6 are (independently) H, (un)substituted Cl-C6 alkyl, (un)substituted acyl, or (un)substituted heterocycloalkyl; R7 is H, (un)substituted Cl-C6 alkyl group, (un)substituted heterocycloalkyl, OH, OR8, or NR5R6; R8 is H, (un)substituted Cl-C6 alkyl, or (un)substituted heterocycloalkyl; or pharmaceutically acceptable salts or solvates]. The compds. include particularly I and II [wherein: R1 is cyclohexyl; R2 is Me; R3 is H, NO2, cyano, halo, heteroaryl, (un)substituted Cl-C6 alkyl, (un)substituted C2-C6 alkenyl, (un)saturated heterocycloalkyl, NR5R6, COR7, SO2R7, OR8, NR8COR7, NR8SO2R7; A is CR4; and B is CH]. The prepared compds. include 4 invention compds. and 8 intermediates. For instance, amidation of Et aminocyanooacetate with cyclohexanecarbonyl chloride gave 71% Et cyanol[cyclohexylcarbonyl]amino]acetate, which was methylated using NaOEt and MeI to give 88% Et 2-cyano-2-[(cyclohexylcarbonyl)amino]propanoate. The latter compound was cyclocondensed with 2-methoxybenzamide HCl to give 21% pyrimidinone intermediate III, which was cyclized by treatment with Me3SiCl and then HMDS to give invention compound IV (R3 = H). The exptl. inhibition of human PDE 7 (IC50) was 0.34 μ M for IV (R3 = H) and 0.055

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 μ M for IV (R3 = 4-methylpiperazin-1-yl). The invention compds. inhibited PDE 7 with a selectivity of more than 10 times compared to PDE 4.

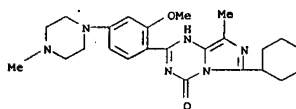
IT 812667-49-5P, 6-Cyclohexyl-2-(2-methoxyphenyl)-8-methylimidazo[1,5-a][1,3,5]triazin-4(3H)-one 812667-51-9P, 2-(4-Bromo-2-methoxyphenyl)-6-cyclohexyl-8-methylimidazo[1,5-a][1,3,5]triazin-4(3H)-one 812667-52-0P, 6-Cyclohexyl-2-[2-methoxy-4-(4-methyl-1-piperazinyl)phenyl]-8-methylimidazo[1,5-a][1,3,5]triazin-4(3H)-one
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate: preparation of imidazotriazinone derivs. as selective PDE 7 (phosphodiesterase 7) inhibitors)
 RN 812667-49-5 CAPLUS
 CN Imidazo[1,5-a]-1,3,5-triazin-4(1H)-one, 6-cyclohexyl-2-(2-methoxyphenyl)-8-methyl- (9CI) (CA INDEX NAME)



RN 812667-51-9 CAPLUS
 CN Imidazo[1,5-a]-1,3,5-triazin-4(1H)-one, 2-(4-bromo-2-methoxyphenyl)-6-cyclohexyl-8-methyl- (9CI) (CA INDEX NAME)



RN 812667-52-0 CAPLUS
 CN Imidazo[1,5-a]-1,3,5-triazin-4(1H)-one, 6-cyclohexyl-2-[2-methoxy-4-(4-methyl-1-piperazinyl)phenyl]-8-methyl- (9CI) (CA INDEX NAME)



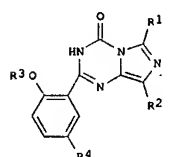
L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:1016045 CAPLUS
DOCUMENT NUMBER: 141:424215
TITLE: Preparation of imidazotriazinone derivatives as
phosphoesterase inhibitors
INVENTOR(S): Wang, Yongfeng; Zhao, Kejun; Liu, Ke
PATENT ASSIGNEE(S): Tianjin Tasly Group Co., Ltd., Peop. Rep. China;
Yantai Development Area North Pharmaceutical R & D
Institute
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

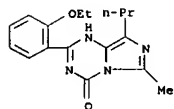
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004101567	A1	20041125	WO 2004-CN488	20040514
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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CN 1548438	A	20041124	CN 2003-131499	20030516
PRIORITY APPLN. INFO.:			CN 2003-131499	A 20030516
OTHER SOURCE(S):			CASREACT 141:424215; MARPAT 141:424215	
GI				



AB Imidazotriazinones I (R1, R2, R3 = H, alkyl, alkenyl, alkynyl, etc.; R4 = H, alkyl, hydroxyalkyl, aminoalkyl, aminosulfonyl, etc.), useful as cGMP PDE5 inhibitors, are prepared. Thus, 2-[2-ethoxy-5-(4-ethylpiperazin-1-ylsulfonyl)phenyl]-6-methyl-8-propylimidazo[1,5-a][1,3,5]triazin-4(3H)-one monohydrochloride was prepared and showed cGMP PDE5 inhibitor activity stronger than that of sildenafil.

IT 346605-62-7P

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of imidazotriazinone deriva. as phosphoesterase inhibitors)
RN 346605-62-7 CAPLUS
CN Imidazo[1,5-a]-1,3,5-triazin-4(1H)-one, 2-(2-ethoxyphenyl)-6-methyl-8-propyl- (9CI) (CA INDEX NAME)

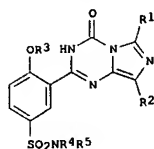


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:489401 CAPLUS
DOCUMENT NUMBER: 135:92657
TITLE: Preparation of 2-(2-alkoxy-5-sulfonylphenyl)-3H-imidazo[1,5-a][1,3,5]triazin-4-ones as inhibitors of cGMP metabolizing phosphodiesterases
INVENTOR(S): Niewoehner, Ulrich; Haning, Helmut; Lampe, Thomas; Es-Sayed, Mazen; Schmidt, Gunter; Bischoff, Erwin; Dembowaky, Klaus; Perzborn, Elisabeth; Schlemmer, Karl-Heinz
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047928	A2	20010705	WO 2000-EP12597	20001212
WO 2001047928	A3	20020516		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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DE 10003323	A1	20010802	DE 2000-10003323	20000127
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EP 1244673	A2	20021002	EP 2000-993611	20001212
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IN 2002MN00821	A	20050304	IN 2002-MN821	20020618
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US 2003195210	A1	20031016	US 2002-168194	20021104
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US 2005043303	A1	20050224	US 2004-892984	20040715
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PRIORITY APPLN. INFO.:			DE 1999-19962928	A 19991224
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OTHER SOURCE(S):			MARPAT 135:92657	
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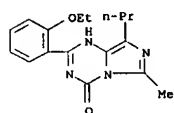


I

AB Title compds. [I; R1 = alkyl; R2 = alkyl, cycloalkyl; R3 = H, alkyl; R4, R5 = H, alkoxy, OH, (substituted) alkyl] were prepared as inhibitors of cGMP metabolizing phosphodiesterases (no data). Thus, 4-ethoxy-3-(6-methyl-4-oxo-8-propyl-3,4-dihydroimidazo[1,5-a][1,3,5]triazin-2-yl)benzenesulfonyl chloride (preparation given) in CH₂Cl₂ was stirred with N-(3,4-dimethoxyphenylethyl)-N-methylamine for 2 h at room temperature to give 981 N-(2-(3,4-dimethoxyphenyl)ethyl)-4-ethoxy-N-methyl-3-(6-methyl-4-oxo-8-propyl-3,4-dihydroimidazo[1,5-a][1,3,5]triazin-2-yl)benzenesulfonamide.

IT 346605-62-7p
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of alkoxysulfonylphenylimidazotriazinones as inhibitors of cGMP metabolizing phosphodiesterases)

RN 346605-62-7 CAPLUS
 CN Imidazo[1,5-a]-1,3,5-triazin-4(1H)-one, 2-(2-ethoxyphenyl)-6-methyl-8-propyl- (9CI) (CA INDEX NAME)



ACCESSION NUMBER: 2001:479151 CAPLUS

DOCUMENT NUMBER: 135:76905

TITLE: Preparation of imidazotriazinones as cGMP PDE inhibitors

INVENTOR(S): Niewoehner, Ulrich; Haning, Helmut; Lampe, Thomas; Es-Sayed, Mazen; Schmidt, Gunter; Bischoff, Erwin; Dembowaky, Klaus; Perzborn, Elisabeth; Schlemmer, Karl-Heinz

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Ger. Offen., 38 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19962928	A1	20010628	DE 1999-19962928	19991224
CA 2395548	A1	20010705	CA 2000-2395548	20001212
WO 2001047928	A2	20010705	WO 2000-EP12597	20001212
WO 2001047928	A3	20020516		
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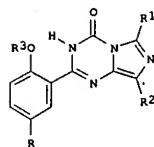
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WO 2000-EP12597 W 20001212

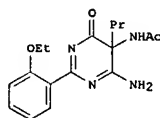
US 2002-168194 A1 20021104

OTHER SOURCE(S): MARPAT 135:76905

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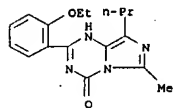


II

AB Title compds. [I; R1 = alkyl; R2 = (cyclo)alkyl; R3 = H or alkyl; R4, R5 = H, (un)substituted alkyl, alkoxy, etc.; NR4R5 = heterocyclyl] were prepared as cGMP PDE inhibitors (no data). Thus, 2-(EtO)C6H4C(=NH)NH₂.HCl was cyclized with PrC(OH)(NHAc)CO₂Et (preparation each given) to give pyrimidinone II which was treated with Me₃SiCl and the product refluxed with NH(SiMe₃)₃ to give, after chlorosulfonation, I (R = SO₂R₆, R1 = Me, R2 = Pr, R3 = Et) (III; R6 = Cl). The latter was amidated by 1-(2-hydroxyethyl)piperazine to give III [R6 = 4-(2-hydroxyethyl)-1-piperazinyl].

IT 346605-62-7p
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of imidazotriazinones as cGMP PDE inhibitors)

RN 346605-62-7 CAPLUS
 CN Imidazo[1,5-a]-1,3,5-triazin-4(1H)-one, 2-(2-ethoxyphenyl)-6-methyl-8-propyl- (9CI) (CA INDEX NAME)



=> file registry
COST IN U.S. DOLLARS

SINCE FILE ENTRY	TOTAL SESSION
28.23	200.99

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE ENTRY	TOTAL SESSION
-3.90	-3.90

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DICTIONARY FILE UPDATES: 16 OCT 2007 HIGHEST RN 950817-67-1

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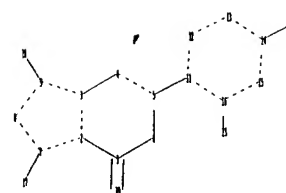
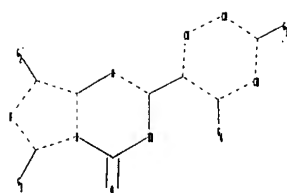
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<http://www.cas.org/support/stngen/stndoc/properties.html>

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chain nodes :

10 17 18 22 23

ring nodes :

1 2 3 4 5 6 7 8 9 11 12 13 14 15 16

chain bonds :

1-10 5-11 7-17 9-18 14-22 16-23

ring bonds :

1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9 11-12 11-16 12-13 13-14 14-15
15-16

exact/norm bonds :

1-2 1-6 1-10 2-3 2-7 3-4 3-9 4-5 5-6 7-8 7-17 8-9 9-18 11-12 11-16
12-13 13-14 14-15 14-22 15-16 16-23

exact bonds :

5-11

G1:Cb,Ak

G2:Ak,H

G3:H,CN,NO2,X,Hy,Ak,C,O,S,N

G4:H,O

Match level :

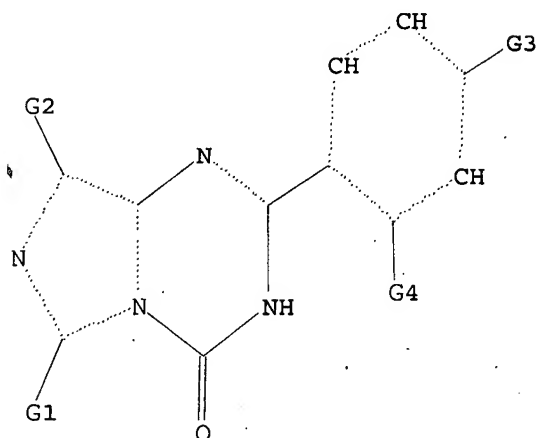
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11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 22:CLASS
23:CLASS

L5 STRUCTURE UPLOADED

=> d 15

L5 HAS NO ANSWERS

L5 STR



G1 Cb,Ak

G2 Ak,H

G3 H,CN,NO2,X,Hy,Ak,C,O,S,N

G4 H,O

Structure attributes must be viewed using STN Express query preparation.

=> s 15

SAMPLE SEARCH INITIATED 09:24:13 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 79 TO ITERATE

100.0% PROCESSED 79 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 1047 TO 2113

PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L5

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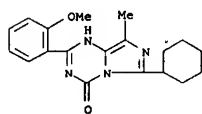
4 ANSWERS

SEARCH TIME: 00.00.01

L7 4 SEA SSS FUL L5

=> d scan

L7 4 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Imidazo[1,5-a]-1,3,5-triazin-4(1H)-one,
6-cyclohexyl-2-(2-methoxyphenyl)-8-
methyl- (9CI)
MF C19 H22 N4 O2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

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COST IN U.S. DOLLARS

SINCE FILE ENTRY	TOTAL SESSION
182.45	383.44

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE ENTRY	TOTAL SESSION
0.00	-3.90

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FILE LAST UPDATED: 16 Oct 2007 (20071016/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s 17

L8 5 L7

=> d 18 1-5

L8 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:708482 CAPLUS
DN 143:338941
TI Comparison of different heterocyclic scaffolds as substrate analog PDE5 inhibitors
AU Haning, Helmut; Niewoehner, Ulrich; Schenke, Thomas; Lampe, Thomas; Hillisch, Alexander; Bischoff, Erwin
PA Business Group Pharma, BAYER HealthCare AG, Wuppertal, D-42096, Germany
SO Bioorganic & Medicinal Chemistry Letters (2005), 15(17), 3900-3907
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
OS CASREACT 143:338941
RE.CNT 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:1127383 CAPLUS
DN 142:74617
TI Imidazotriazinone derivatives as PDE 7 (phosphodiesterase 7) inhibitors, their preparation, and pharmaceutical compositions containing them
IN Inoue, Hidekazu; Murafuji, Hidenobu; Hayashi, Yasuhiro
PA Daiichi Suntory Pharma Co., Ltd., Japan; Daiichi Suntory Biomedical Research Co., Ltd.
SO PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004111053	A1	20041223	WO 2004-JP8642	20040611
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JP 2006219374	A	20060824	JP 2003-170095	20030613
EP 1636234	A1	20060322	EP 2004-736703	20040611
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US 2006128707	A1	20060615	US 2005-560503	20051213
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WO 2004-JP8642	W	20040611		
OS MARPAT 142:74617				

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:1016045 CAPLUS
DN 141:424215
TI Preparation of imidazotriazinone derivatives as phosphodiesterase inhibitors
IN Wang, Yongfeng; Zhao, Kejun; Liu, Ke
PA Tianjin Tasly Group Co., Ltd., Peop. Rep. China; Yantai Development Area North Pharmaceutical R & D Institute
SO PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DT Patent
LA Chinese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004101567	A1	20041125	WO 2004-CN488	20040514
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CN 1548438	A	20041124	CN 2003-131499	20030516
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OS CASREACT 141:424215; MARPAT 141:424215				

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:489401 CAPLUS
DN 135:92657
TI Preparation of 2-(2-alkoxy-5-sulfonylphenyl)-3H-imidazo[1,5-a][1,3,5]triazin-4-ones as inhibitors of cGMP metabolizing phosphodiesterases
IN Niewoehner, Ulrich; Haning, Helmut; Lampe, Thomas; Es-Sayed, Mazen; Schmidt, Gunter; Bischoff, Erwin; Dembowski, Klaus; Perzborn, Elisabeth
PA Bayer Aktiengesellschaft, Germany
SO PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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AU 781028	B2	20050428	AU 2001-28420	20001212
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MX 2002PA06240	A	20030128	MX 2002-PA6240	20020621
US 2003195210	A1	20031016	US 2002-168194	20021104
US 6803365	B2	20041012		
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US 7091203	B2	20060815		
PRAI DE 1999-1962928	A	19991224		
DE 2000-10003323	A	20000127		
WO 2000-EP12597	W	20001212		
US 2002-168194	A1	20021104		
OS MARPAT 135:92657				

L8 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2001:479151 CAPLUS
 DN 135:76905
 TI Preparation of imidazotriazinones as cGMP PDE inhibitors
 IN Niewoehner, Ulrich; Haning, Helmut; Lampe, Thomas; Es-Sayed, Mazen;
 Schmidt, Gunter; Bischoff, Erwin; Dembowski, Klaus; Perzborn, Elisabeth;
 Schlemmer, Karl-Heinz
 PA Bayer A.-G., Germany
 SO Ger. Offen., 38 pp.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 2

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DE 19962928	A1	20010628	DE 1999-19962928	19991224
CA 2395548	A1	20010705	CA 2000-2395548	20001212
WO 2001047928	A2	20010705	WO 2000-EP12597	20001212
WO 2001047928	A3	20020516		
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EP 1244673	A2	20021002	EP 2000-993611	20001212
R:				
AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
TR 200201638	T2	20021121	TR 2002-1638	20001212
BR 2000017043	A	20030107	BR 2000-17043	20001212
ZA 2002004457	A	20030604	ZA 2002-4457	20001212
JP 2003519150	T	20030617	JP 2001-549398	20001212
AU 781028	B2	20050428	AU 2001-28420	20001212
IN 2002MN00821	A	20050304	IN 2002-MN821	20020618
MX 2002PA06240	A	20030128	MX 2002-PA6240	20020621
US 2005043303	A1	20050224	US 2004-892984	20040715
US 7091203	B2	20060815		
PRAI DE 1999-19962928	A	19991224		
DE 2000-10003323	A	20000127		
WO 2000-EP12597	W	20001212		
US 2002-168194	A1	20021104		
OS MARPAT 135:76905				

=> log hold
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
7.31	390.75

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
0.00	-3.90

CA SUBSCRIBER PRICE

SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 09:26:01 ON 17 OCT 2007